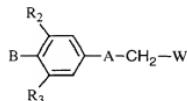


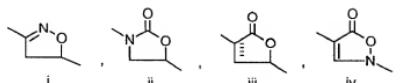
CLAIMS

1. A compound of formula I

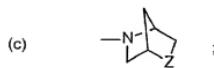
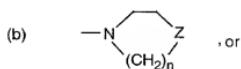
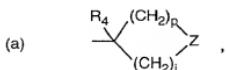


5 or a pharmaceutically acceptable salt thereof wherein:

A is a structure i, ii, iii, or iv



B is



10 W is NHC(=X)R₁, or -Y-het; provided that when A is a structure iv, W is not -Y-het;
X is O, or S; provided that when X is O, B is not the subsection (b).

Y is NH, O, or S;

Z is S(=O)(=N-R₅);

R₁ is

- 15 (a) H,
 (b) NH₂,
 (c) NHC₁₋₄alkyl,
 (d) C₁₋₄alkyl,
 (e) C₂₋₄alkenyl,
 20 (f) OC₁₋₄alkyl,

- (g) $\text{SC}_{1-4}\text{alkyl}$, or
- (h) $(\text{CH}_2)_p\text{C}_{3-6}\text{cycloalkyl}$;

at each occurrence, alkyl or cycloalkyl in R_1 is optionally substituted with one or more F, Cl or CN;

5 R₂ and R₃ are independently H, F, Cl, methyl or ethyl;

R₄ is H, CH₃, or F;

R₅ is

- (a) H,
- (b) $\text{C}_{1-4}\text{alkyl}$,
- 10 (c) $\text{C}(=\text{O})\text{C}_{1-4}\text{alkyl}$,
- (d) $\text{C}(=\text{O})\text{OC}_{1-4}\text{alkyl}$,
- (e) $\text{C}(=\text{O})\text{NHR}_6$, or
- (f) $\text{C}(=\text{S})\text{NHR}_6$;

R₆ is H, C₁₋₄alkyl, or phenyl;

15 at each occurrence, alkyl in R₅ and R₆ is optionally substituted with one or more halo, CN, NO₂, phenyl, C₃₋₆ cycloalkyl, OR₇, C(=O)R⁷, OC(=O)R₇, C(=O)OR₇, S(=O)_mR₇, S(=O)_mNR₇R₇, NR₇SO₂R₇, NR₇SO₂NR₇R₇, NR₇C(=O)R₇, C(=O)NR₇R₇, NR₇R₇, oxo, or oxime;

R₇ is H, C₁₋₄alkyl, or phenyl;

20 at each occurrence, phenyl is optionally substituted with one or more halo, CN, NO₂, phenyl, C₃₋₆ cycloalkyl, OR₇, C(=O)R⁷, OC(=O)R₇, C(=O)OR₇, S(=O)_mR₇, S(=O)_mNR₇R₇, NR₇SO₂R₇, NR₇SO₂NR₇R₇, NR₇C(=O)R₇, C(=O)NR₇R₇, or NR₇R₇;

het is a C-linked five- (5) membered heteroaryl ring having 1-4 heteroatoms selected from the group consisting of oxygen, sulfur, and nitrogen, or het is a C-linked six (6) membered

25 heteroaryl ring having 1-3 nitrogen atoms;

p is 0, 1, or 2;

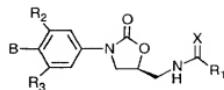
j is 1, 2, 3, 4, or 5; provided that k and j taken together are 2, 3, 4 or 5;

m is 0, 1, or 2;

n is 2 or 3; and ---- in structure iii is either a double bond or a single bond.

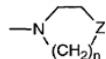
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2. A compound of formula I which is a compound of formula IA:



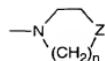
IA.

3. A compound of claim 2 wherein R_1 is C_{1-4} alkyl.
- 5 4. A compound of claim 2 wherein R_1 is ethyl.
- 5 5. A compound of claim 2 wherein R_1 is methyl.
- 5 6. A compound of claim 2 wherein R_1 is C_{3-6} cycloalkyl.
- 10 7. A compound of claim 2 wherein R_1 is cyclopropyl.
- 10 8. A compound of claim 2-7 wherein X is sulfur atom.
- 15 9. A compound of claim 2-7 wherein X oxygen atom.
- 15 10. A compound of claim 8 wherein one of R_2 and R_3 is H, the other one is F.
- 20 11. A compound of claim 9 wherein one of R_2 and R_3 is H, the other one is F.
- 20 12. A compound of claim 8 wherein R_4 is H.
- 25 13. A compound of claim 9 wherein R_4 is H.
- 25 14. A compound of claim 8 wherein structure B is



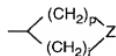
wherein Z is $\text{S}(\text{=O})(\text{=NR}_5)$.

- 25 15. A compound of claim 9 wherein structure B is



wherein Z is S(=O)(=NR₅).

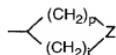
16. A compound of claim 8 wherein structure B is



5

wherein Z is S(=O)(=NR₅)

17. A compound of claim 8 wherein structure B is



10 wherein Z is S(=O)(=NR₅).

18. A compound of claim 14-17 wherein R₅ is H.

19. A compound of claim 14-17 wherein R₅ is C₁₋₄alkyl, optionally substituted with

15 OH; or C₁₋₄alkyl substituted with C(=O)NHC₁₋₄alkyl, C(=O)NH₂ or phenyl; wherein the phenyl is optionally substituted with OH, methyl, NO₂, CF₃, or CN.

20. A compound of claim 20 wherein R₅ is CH₃, or ethyl.

21. A compound of claim 20 wherein R₅ is C₁₋₄alkyl substituted with phenyl wherein the phenyl is optionally substituted with NO₂.

20

22. A compound of claim 14-17 wherein R₅ is C(=O)C₁₋₄alkyl, C(=O)OC₁₋₄alkyl, C(=O)NH₂, or C(=O)NHC₁₋₄alkyl.

23. A compound of claim 22 wherein R₅ is C(=O)NHCH₃, or C(=O)NHCH₂CH₃.

25

24. A compound of claim 14-17 wherein R₅ is C(=O)CH₃.

25. A compound of claim 14-17 wherein R₅ is C(=O)OCH₃.

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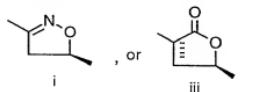
- 2025 RELEASE UNDER E.O. 14176
26. A compound of claim 2 which is
(1) N-((*(5S*)-3-[3-fluoro-4-(1-imino-1-oxido-1*λ*⁴, 4-thiazinan-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl}methyl)ethanethioamide;
(2) N-((*(5S*)-3-[3-fluoro-4-(1-imino-1-oxido-1*λ*⁴, 4-thiazinan-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl}methyl)propanethioamide;
5 (3) N-((*(5S*)-3-[3-fluoro-4-(1-imino-1-oxido-1*λ*⁴, 4-thiazinan-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl}methyl)cyclopropanecarbothioamide;
(4) N-((*(5S*)-3-[3-fluoro-4-(1-imino-1-oxidohexahydro-1*λ*⁴-thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)acetamide (*E*)-isomer;
10 (5) N-((*(5S*)-3-[3-fluoro-4-(1-imino-1-oxidohexahydro-1*λ*⁴-thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)ethanethioamide (*E*)-isomer;
(6) N-((*(5S*)-3-[3-fluoro-4-(1-imino-1-oxidohexahydro-1*λ*⁴-thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide (*E*)-isomer;
15 (7) N-((*(5S*)-3-[3-fluoro-4-(1-imino-1-oxidohexahydro-1*λ*⁴-thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)cyclopropanecarbothioamide (*E*)-isomer;
(8) N-((*(5S*)-3-[3-fluoro-4-(1-imino-1-oxidohexahydro-1*λ*⁴-thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)acetamide (*Z*)-isomer;
20 (9) N-((*(5S*)-3-[3-fluoro-4-(1-imino-1-oxidohexahydro-1*λ*⁴-thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)ethanethioamide (*Z*)-isomer;
(10) N-((*(5S*)-3-[3-fluoro-4-(1-imino-1-oxidohexahydro-1*λ*⁴-thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide (*Z*)-isomer;
25 (11) N-((*(5S*)-3-[3-fluoro-4-(1-imino-1-oxidohexahydro-1*λ*⁴-thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)cyclopropanethioamide (*Z*)-isomer;
(12) N-((*(5S*)-3-[3-fluoro-4-[1-(acetyllimino)-1-oxidohexahydro-1*λ*⁴-thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)acetamide, *Z*-isomer;
30 (13) N-((*(5S*)-3-[3-fluoro-4-[1-(methylimino)-1-oxidohexahydro-1*λ*⁴-thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide, *Z*-isomer;
(14) N-((*(5S*)-3-[3-fluoro-4-[1-(acetyllimino)-1-oxidohexahydro-1*λ*⁴-thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide, *Z*-isomer;
35 (15) N-((*(5S*)-3-[3-fluoro-4-[1-(ethylimino)-1-oxidohexahydro-1*λ*⁴-thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide, *Z*-isomer;
(16) N-((*(5S*)-3-[3-fluoro-4-[1-[(phenylmethyl)imino]-1-oxidohexahydro-1*λ*⁴-thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide, *Z*-isomer;

- (17) N-((5*S*)-3-[3-fluoro-4-[1-[(3-phenylpropyl)imino]-1-oxidohexahydro-1*λ*⁴-thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl}methyl)propanethioamide, Z-isomer;
- (18) N-((5*S*)-3-[3-fluoro-4-(1-[(methylamino)carbonyl]imino)-1-oxidohexahydro-1*λ*⁴-thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl}methyl)propanethioamide, Z-isomer;
- (19) N-((5*S*)-3-[3-fluoro-4-(1-[(methoxycarbonyl)imino]-1-oxidohexahydro-1*λ*⁴-thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl}methyl)propanethioamide, Z-isomer;
- (20) N-((5*S*)-3-[3-fluoro-4-(1-[[ethoxycarbonyl)methyl]imino]-1-oxidohexahydro-1*λ*⁴-thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl}methyl)propanethioamide, Z-isomer;
- (21) N-((5*S*)-3-[3-fluoro-4-(1-[[4-nitrophenyl)amino]carbonyl]imino)-1-oxidohexahydro-1*λ*⁴-thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl}methyl)propanethioamide, Z-isomer;
- (22) N-((5*S*)-3-[3-fluoro-4-[1-[(aminocarbonyl)imino]-1-oxidohexahydro-1*λ*⁴-thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl}methyl)propanethioamide, Z-isomer;
- (23) N-((5*S*)-3-[3-fluoro-4-[1-[(aminocarbonyl)methyl]imino]-1-oxidohexahydro-1*λ*⁴-thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl}methyl)propanethioamide, Z-isomer;
- (24) N-((5*S*)-3-[3-fluoro-4-[1-[(2-hydroxyethyl)imino]-1-oxidohexahydro-1*λ*⁴-thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl}methyl)propanethioamide, Z-isomer;
- (25) N-[((5*S*)-3-[3-fluoro-4-[1-(methylimino)-1-oxido-1*λ*⁴, 4-thiazinan-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl]propanethioamide;
- (26) N-[((5*S*)-3-[3-fluoro-4-[1-(methylimino)-1-oxido-1*λ*⁴, 4-thiazinan-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)cyclopropanecarbothioamide;
- (27) N-[((5*S*)-3-[3-fluoro-4-(1-[(methoxycarbonyl)imino]-1-oxido-1*λ*⁴, 4-thiazinan-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl]propanethioamide;
- (28) N-[((5*S*)-3-[3-fluoro-4-(1-[(methoxycarbonyl)imino]-1-oxido-1*λ*⁴, 4-thiazinan-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)cyclopropanecarbothioamide ;

- (29) N-((5*S*)-3-[3-fluoro-4-[1-(methylimino)-1-oxidohexahydro-1*λ*⁴-thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)cyclopropanecarbothioamide, *Z*-isomer;
- (30) N-[(*5S*)-3-{3-fluoro-4-[1-[(methoxycarbonyl)imino]-1-oxidohexahydro-1*λ*⁴-thiopyran-4-yl]phenyl}-2-oxo-1,3-oxazolidin-5-yl)methyl)cyclopropanecarbothioamide, *Z*-isomer;
- (31) N-[(*5S*)-3-{3-fluoro-4-[1-(methylimino)-1-oxidohexahydro-1*λ*⁴-thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)cyclopropanecarbothioamide, *E*-isomer;
- (32) N-[(*5S*)-3-{3-fluoro-4-[1-(methylimino)-1-oxidohexahydro-1*λ*⁴-thiopyran-4-yl]phenyl}-2-oxo-1,3-oxazolidin-5-yl)methyl]propanethioamide, *E*-isomer;
- (33) N-[(*5S*)-3-{3-fluoro-4-[1-[(phenylmethoxy)carbonyl]imino]-1-oxidohexahydro-1*λ*⁴-thiopyran-4-yl]phenyl}-2-oxo-1,3-oxazolidin-5-yl)methyl]acetamide, *Z*-isomer; or
- (34) N-((*5S*)-3-[3-Fluoro-4-(1-[(benzylamino)carbonyl]imino)-1-oxidohexahydro-1*λ*⁴-thiopyran-4-yl]phenyl)-2-oxo-1,3-oxazolidin-5-yl)methyl)acetamide, *Z*-isomer.
27. A compound of claim 2 which is
- (1) N-((*5S*)-3-[3-fluoro-4-(1-imino-1-oxido-1*λ*⁴, 4-thiazinan-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)ethanethioamide;
- (2) N-((*5S*)-3-[3-fluoro-4-(1-imino-1-oxido-1*λ*⁴, 4-thiazinan-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide;
- (3) N-((*5S*)-3-[3-fluoro-4-(1-imino-1-oxido-1*λ*⁴, 4-thiazinan-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)cyclopropanecarbothioamide;
- (4) N-((*5S*)-3-[3-fluoro-4-(1-imino-1-oxidohexahydro-1*λ*⁴-thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)ethanethioamide (*Z*)-isomer;
- (5) N-((*5S*)-3-[3-fluoro-4-(1-imino-1-oxidohexahydro-1*λ*⁴-thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide (*Z*)-isomer; or
- (6) N-((*5S*)-3-[3-fluoro-4-(1-imino-1-oxidohexahydro-1*λ*⁴-thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)cyclopropanethioamide (*Z*)-isomer.
28. A compound of claim 2 which is

- 1 (1) N-((5S)-3-[3-fluoro-4-[1-(methylimino)-1-oxidohexahydro-1 λ^4 -thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide, Z-isomer;
- 2 (2) N-((5S)-3-[3-fluoro-4-[1-(acetylimino)-1-oxidohexahydro-1 λ^4 -thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide, Z-isomer;
- 5 (3) N-((5S)-3-[3-fluoro-4-(1-[(methoxycarbonyl)imino]-1-oxidohexahydro-1 λ^4 -thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide, Z-isomer;
- 10 (4) N-((5S)-3-[3-Fluoro-4-(1-{[(4-nitrophenyl)amino]carbonyl}imino)-1-oxidohexahydro-1 λ^4 -thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide, Z-isomer ;
- 15 (5) N-((5S)-3-[3-fluoro-4-[1-(methylimino)-1-oxidohexahydro-1 λ^4 -thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)cyclopropanecarbothioamide, Z-isomer; or
- 16 (6) N-((5S)-3-[3-fluoro-4-[1-[(methoxycarbonyl)imino]-1-oxidohexahydro-1 λ^4 -thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)cyclopropanecarbothioamide, Z-isomer.
29. A compound of claim 2 which is
- 20 (1) N-((5S)-3-[3-Fluoro-4-[1-(methylimino)-1-oxidohexahydro-1 λ^4 -thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide, Z-isomer;
- (2) N-((5S)-3-[3-Fluoro-4-[1-(ethylimino)-1-oxidohexahydro-1 λ^4 -thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide, Z-isomer;
- 25 (3) N-((5S)-3-[3-Fluoro-4-(1-[(methylamino)carbonyl}imino)-1-oxidohexahydro-1 λ^4 -thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide, Z-isomer;
- (4) N-((5S)-3-[3-Fluoro-4-[1-(methylimino)-1-oxido-1 λ^4 ,4-thiazinan-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide; or
- 30 (5) N-((5S)-3-[3-Fluoro-4-[1-(methylimino)-1-oxido-1 λ^4 ,4-thiazinan-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)cyclopropanecarbothioamide.
30. A method for treating microbial infections comprising: administering to a mammal in need thereof an effective amount of a compound of formula I as shown in claim 1.

31. The method of claim 30 wherein said compound of formula I is administered orally, parenterally, transdermally, or topically in a pharmaceutical composition.
32. The method of claim 30 wherein said compound is administered in an amount of
5 from about 0.1 to about 100 mg/kg of body weight/day.
33. The method of claim 30 wherein said compound is administered in an amount of
from about 1 to about 50 mg/kg of body weight/day.
- 10 34. A method for treating microbial infections of claim 30 wherein the infection is skin infection.
35. A method for treating microbial infections of claim 30 wherein the infection is eye
infection.
- 15 36. A pharmaceutical composition comprising a compound of claim 1 and a
pharmaceutically acceptable carrier.
37. A compound of claim 1 wherein structure i, or iii is



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